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CACAO POWDER-COMPOUNDED ORAL-ADMINISTRATION SOLID DRUG FORMULATION

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Abstract

Objective

It is to provide an oral administration solid drug formulation with good drug administerability secured by conveniently masking undesirable tastes such as bitterness, etc.

Means to solve

Oral administration solid drug formulation containing a component having an undesirable taste and cacao powder or alternatively, oral administration solid drug formulation containing a component having an undesirable taste, cacao powder and sweetener.

Claims

1. An oral administration solid drug formulation containing a component having an undesirable taste and cacao powder.

2. An oral administration solid drug formulation containing a component having an undesirable taste, cacao powder and sweetener.

3. The oral administration solid drug formulation of Claim 1 or 2, wherein the component having an undesirable taste is at least one of vitamin, iron compound and caffeine.

4. The oral administration solid drug formulation of Claim 2 or 3, wherein the sweetener is at least one of stevia extract, aspartame and dipotassium glycyrrhetate.

5. The oral administration solid drug formulation of Claims 1-4, wherein the 0.5-20 parts by weight of cacao powder per part by weight of the component having an undesirable taste is compounded.

6. The oral administration solid drug formulation of Claim 1-5, wherein the vitamin is at least one of nicotinic acid amide, calcium ascorbate, and calcium pantothenate.

7. The oral administration solid drug formulation of Claims 1-6, wherein the iron compound is at least one of ferrous fumarate and ferric pyrophosphate.

8. The oral administration solid drug formulation of Claims 1-7, wherein the formulation is in the form of a powder, small particle, granule or tablet.

9. The oral administration solid drug formulation of Claims 1-8, wherein the formulation is in the form of chewable tablet.

Detailed explanation of the invention

[0001]

Technical field of the invention

This invention pertains to an oral administration solid drug formulation with any undesirable taste conveniently masked.

[0002]

Prior art

In the field of oral administration drug formulations, the powders, small particles, granules and tablets containing any component having an undesirable taste are applied with film coating so that the undesirable taste is masked in consideration of the drug administerability.

[0003]

However, the film coating requires a lot of time and labor, and consequently, there is an unsurmountable disadvantage with respect to the production cost.

[0004]

Furthermore, in the case of chewable tablets which are taken by chewing, undesirable tastes cannot be masked even if a coating film is applied, and the addition of a matrix or sweetener has been carried out. The matrix formation requires time and labor, and furthermore, the addition of a sweetener is not sufficient to mask an undesirable taste.

[0005]

Problems to be solved by the invention

The objective of this invention is to provide an oral administration solid drug formulation having good drug administerability secured by easily masking any undesirable taste such as bitterness, etc.

[0006]

Means to solve the problems

The inventors of this invention studied diligently to mask any undesirable taste of drug formulations in powder, small particle, granular and tablet forms containing a component having an undesirable taste. As a result, they found that any drug formulation with an undesirable taste such as a powder containing a component with an undesirable taste could be masked effectively if a constant amount of cacao powder was compounded. Furthermore, they also found that the action masking the undesirable taste could be enhanced. The inventors of this invention arrived at the present invention based on such information.

[0007]

Specifically, this invention is an oral administration solid drug formulation containing a component with an undesirable taste and cacao powder. Furthermore, it also pertains to an oral administration solid drug formulation containing a component having an undesirable taste, cacao powder and sweetener.

[0008]

Preferred embodiment of the invention

The component of this invention having an undesirable taste is not especially limited as long as it is a component having bitterness or any other taste causing poor administerability such as a hot taste, acrid taste, astringent taste, bitter astringent taste, etc. Specifically, there are, for example, vitamins, iron compounds, caffeine, etc.

[0009]

The vitamin to be used is not especially restricted as long as it has a bitter taste. Specifically, there are, for example, nicotinic acid amide, calcium ascorbate, calcium panthotenate, etc.

[0010]

The iron compound to be used is an organic acid iron salt having an acrid taste specific to iron, and specifically there are, for example, ferrous fumarate and ferric pyrophosphate.

[0011]

The cacao powder of this invention is a powder prepared from beans of *Theobroma cacao* LINNE of the Straeliaceae family by carrying out fermentation, drying and baking and pulverizing to a powder, and it is mostly used for flavoring cakes and as a raw material for chocolate. It is also called cocoa powder.

[0012]

The amount of this cacao powder to be compounded is determined depending on the kind and amount of the component with undesirable taste to be compounded, but it is generally in the range of 0.5-20 parts by weight per part by weight of the component having an undesirable taste, and it is preferably in the range of 1-10 parts by weight for satisfactorily masking the undesired taste and not causing poor administerability by the cacao powder itself. For example, the amount is generally in the range of 0.5-10 parts by weight, preferably 1-8 parts by weight per part by weight of vitamins, and in the case of one part by weight of iron compounds, it is in the range of 0.5-10 parts by weight, preferably 1-5 parts by weight. To one part by weight of caffeine, generally 2.5-20 parts by weight, preferably 5-15 parts by weight are used.

[0013]

The action in this invention which masks an undesirable taste is exhibited by compounding a constant amount of cacao powder with a component having an undesirable taste, and if a constant amount of a sweetener is compounded further, the action is enhanced.

[0014]

The sweetener of this invention is a substance used to provide a sweet taste in the field of medical drugs, etc, and specifically, there are, for example, sucrose, stevia extract, aspartame and dipotassium glycyrrhetinate. They may be used alone or in combination of two or more kinds. The amount of such sweeteners to be compounded is generally in the range of 0.001-0.01 part by weight per part by weight of cacao powder, and it is preferably in the range of 0.002-0.05 part by weight to achieve satisfactory masking of an undesirable taste as a result of a synergic effect with the cacao powder.

[0015]

The stevia extract includes natural stevia extract and its saccharide-displaced derivatives, and specifically, there are, for example, ribaudioside A, ribaudioside B, ribaudioside C, ribaudioside D, ribaudioside E and α-glucosylstevioside.

[0016]

The aspartame and dipotassium glycyrrhetinate are those conventionally used as a sweetener or flavoring agent.

[0017]

The cacao powder-compounded oral administration solid drug formulation of this invention can be formulated in various solid drug formulation forms such as powder, small particles, granules, tablets, etc., and the masking action is exhibited in respective formulation forms, but the masking action is especially remarkable when it is in the form of chewable tablets, wherein the masking of any undesirable taste is not possible.

[0018]

Furthermore, the cacao powder-compounded oral administration drug formulation of this invention containing a component having an undesirable taste and cacao powder or these components with a sweetener further added can be prepared depending on respective formulation forms by using conventional procedures. For example, in the case of a chewable tablet, the drug having an undesirable taste is granulated together with an excipient, subsequently, cacao powder is added, and the mixture is pelletized to have a tablet hardness suitable for chewing by carrying out compression molding. In this case, at least one kind of sweetener such as stevia extract, aspartame or dipotassium glycyrrhetinate may be compounded as a part of the excipient to enhance the action of masking the undesirable taste.

[0019]

Within the range of not damaging the effects of this invention, the cacao powder-compounded oral administration solid drug formulation of this invention may be further compounded suitably with excipients such as starch, crystalline cellulose, water-soluble saccharides, etc., disintegrants such as low-degree-of-substitution hydroxypropylcellulose, calcium crosscarmelose, etc., and smoothening agents such as talc, magnesium stearate, etc. In addition, if necessary, it is also possible to compound suitably, in addition to cacao powder, cool-tasting agents such as borneol, menthol, etc., aromatic flavoring agents such as chamomile oil, cinnamon oil, etc.

[0020]

Effect of the invention

As a result of this invention, it has become possible to provide an oral administration solid drug formulation with any undesirable taste masked without applying any coating film. Therefore, it has become possible to reduce the cost of production. Especially in the case of chewable tablets, wherein the masking of undesirable tastes has been impossible by using a coating film, it has become possible to secure good administerability even if a component having an undesirable taste is compounded.

[0021]

Application examples

This invention is explained in further detail by using application, comparative and test examples as follows.

[0022]

Application Example 1

Composition

Calcium ascorbate	300 g
Mannitol	400 g
Hydroxypropylcellulose	140 g
Cacao powder	350 g
Magnesium stearate	10 g

Each of the above components was weighed, and said components except for cacao powder and magnesium stearate were mixed uniformly. The powder mixture prepared was granulated in a vertical granulator (manufactured by Powrex Co.), and the prescribed amounts of cacao powder and magnesium stearate were added to the granules prepared. The mixture was pelletized into a weight per tablet of 1200 mg to obtain a chewable tablet.

[0023]

Application Example 2

Composition

Nicotinic acid amide	50 g
Mannitol	690 g
Hydroxypropylcellulose	100 g

Cacao powder	350 g
Magnesium stearate	10 g

Each of the above components was weighed, and a chewable tablet with a weight of 1200 mg per tablet was prepared by carrying out production procedures similar to those used in the Application Example 1.

[0024]

Application Example 3

Composition

Calcium pantothenate	50 g
Mannitol	990 g
Hydroxypropylcellulose	100 g
Cacao powder	50 g
Magnesium stearate	10 g

Each of the above components was weighed, and a chewable tablet with a weight of 1200 mg per tablet was prepared by carrying out production procedures similar to those used in the Application Example 1.

[0025]

Application Example 4

Composition

Ferrous fumarate	30 g
Mannitol	890 g
Hydroxypropylcellulose	120 g
Cacao powder	150 g
Magnesium stearate	10 g

Each of the above components was weighed, and a chewable tablet with a weight of 1200 mg per tablet was prepared by carrying out production procedures similar to those used in the Application Example 1.

[0026]

Application Example 5

Composition

Ferric pyrophosphate	75 g
Mannitol	845 g
Hydroxypropylcellulose	120 g
Cacao powder	150 g
Magnesium stearate	10 g

Each of the above components was weighed, and a chewable tablet with a weight of 1200 mg per tablet was prepared by carrying out production procedures similar to those used in the Application Example 1.

[0027]

Application Example 6

Composition

Caffeine	50 g
Mannitol	540 g
Hydroxypropylcellulose	100 g
Cacao powder	500 g
Magnesium stearate	10 g

Each of the above components was weighed, and a chewable tablet with a weight of 1200 mg per tablet was prepared by carrying out production procedures similar to those used in the Application Example 1.

[0028]

Application Example 7

Composition

Calcium ascorbate	300 g
Mannitol	575 g
Stevilon C (Morita Chemical)	5 g
Hydroxypropylcellulose	140 g
Cacao powder	170 g
Magnesium stearate	10 g

Each of the above components was weighed, and said components except for cacao powder and magnesium stearate were mixed uniformly. The powder mixture prepared was granulated in a vertical granulator (manufactured by Powrex Co.), and the prescribed amounts of cacao powder and magnesium stearate were added to the granules prepared. The mixture was pelletized so that the weight per tablet was 1200 mg to obtain a chewable tablet.

[0029]

Application Example 8

Composition

Nicotinic acid amide	50 g
Mannitol	835 g
Stevilon C	5 g
Hydroxypropylcellulose	100 g
Cacao powder	200 g
Magnesium stearate	10 g

Each of the above components was weighed, and a chewable tablet with a weight of 1200 mg per tablet was prepared by carrying out production procedures similar to those used in the Application Example 1.

[0030]

Application Example 9

Composition

Calcium pantothenate	50 g
Mannitol	1014 g
Stevilon C	1 g
Hydroxypropylcellulose	100 g
Cacao powder	25 g
Magnesium stearate	10 g

Each of the above components was weighed, and a chewable tablet with a weight of 1200 mg per tablet was prepared by carrying out production procedures similar to those used in the Application Example 1.

[0031]

Application Example 10

Composition

Ferrous fumarate	30 g
Mannitol	887 g
Stevilon C	3 g
Hydroxypropylcellulose	120 g
Cacao powder	150 g
Magnesium stearate	10 g

Each of the above components was weighed, and a chewable tablet with a weight of 1200 mg per tablet was prepared by carrying out production procedures similar to those used in the Application Example 1.

Application Example 11

Composition

Ferric pyrophosphate	30 g
Mannitol	787 g
Stevilon C	3 g
Hydroxypropylcellulose	120 g
Cacao powder	250 g
Magnesium stearate	10 g

Each of the above components was weighed, and a chewable tablet with a weight of 1200 mg per tablet was prepared by carrying out production procedures similar to those used in the Application Example 1.

Application Example 12

Composition

Caffeine	50 g
Mannitol	784 g
Stevilon C	6 g
Hydroxypropylcellulose	100 g
Cacao powder	250 g
Magnesium stearate	10 g

Each of the above components was weighed, and a chewable tablet with a weight of 1200 mg per tablet was prepared by carrying out production procedures similar to those used in the Application Example 1.

[0032]

Comparative examples

The cacao powder was excluded from the compositions of the Application Examples 1-6, and the amount of mannitol was increased as much, and chewable tablets of 1200 mg were prepared by carrying out procedures similar to those used in the Application Example 1. Those tablets prepared were called respectively Comparative Examples 1-6.

[0033]

Test example

For those chewable tablets prepared in the application and comparative examples, an organoleptic test was carried out with respect to bitterness (acrid taste). Table 1 shows the results. Incidentally, the organoleptic evaluation was carried out with 10 subjects, the strength of the bitterness (acrid taste) was numerically represented based on the following standards, and the results obtained were compared.

[0034]

Evaluation of bitterness (acrid taste)

No bitterness at all	1 point
Slightly bitter	2 points
Bitter	3 points
Very bitter	4 points

[0036]

Table 1

//insert//

Key: 1 Application Example
2 Comparative Example

[0036]

As apparent from the results shown in Table 1, the bitterness (acrid taste) was found to be effectively masked by compounding cacao powder. Furthermore, the bitterness (acrid taste)-masking action was enhanced by further compounding a sweetener.